

PATENT ABSTRACTS OF JAPAN 12/10

(11) Publication number : 61-015829

(43) Date of publication of application : 23.01.1986

(51)Int.Cl. A61K 9/00
A61K 9/24

(21)Application number : 59-136232 (71)Applicant : TOYOB0 CO LTD
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(54) SUSTAINED RELEASE NIFEDIPINE PHARMACEUTICAL FOR APPLICATION TO
ORAL MUCOSA

(57) Abstract:

PURPOSE: The titled pharmaceutical, consisting of a rapidly soluble layer consisting of nifedipine and polyvinylpyrrolidone (PVP) of specific composition and a delayed dissolution layer consisting of the nifedipine, PVP and polyacrylic acid, and having ready absorbability and prolonged action of the nifedipine useful as an antianginous agent.

CONSTITUTION: A pharmaceutical consisting of two layers of a rapidly soluble layer consisting of a solid solution of nifedipine and polyvinylpyrrolidone (PVP) and an excipient and a delayed dissolution layer consisting of the solid solution of the nifedipine, PVP and polyacrylic acid and a salt thereof. The pharmaceutical is characterized in that the content of the PVP in the rapidly soluble layer is 20W80wt% and the weight ratio between the solid solution component and the polyacrylic acid in the delayed dissolution layer is 5:95W95:5. The solid solution of the nifedipine dissolved in the PVP in the solid state enhances the absorption of the slightly soluble nifedipine, and the polyacrylic acid contributes to the sustained release and adhesive properties. The dissolution of the nifedipine in saliva is kept constant for a long time, and the blood concentration is kept constant for a long time.

(3)
2002/M2 PCT

XP-002270127 141

AN - 1986-064938 [25]

A - [001] 014 034 04- 040 074 075 076 101 231 24- 250 27& 398 431 443 445
477 525 532 537 645 688 724

AP - JP19840136232 19840629; JP19840136232 19840629; [Based on J61015829]

CPY - TOYM

DC - A96 B05

DR - 1845-U 1849-U

FS - CPI

IC - A61K9/24

KS - 0218 0231 0409 0411 0906 0908 2001 2022 2427 2437 2440 2575 2726 2729
2766

MC - A04-D05 A04-F04 A12-V01 B04-C03A B07-D04D B12-M10A B12-M11B

M1 - [02] F011 F012 F423 H2 H211 H7 H713 H721 J5 J521 L9 L941 M210 M212
M273 M281 M320 M423 M431 M510 M521 M530 M540 M782 M903 M910 R038 R052
V0 V743

- [03] H7 H714 H721 J0 J011 J1 J171 M210 M212 M262 M281 M320 M423 M431
M510 M520 M530 M540 M782 M903 M910 R038 R052 V0 V742

M2 - [01] F012 F013 F014 F015 F016 F432 G011 G100 H3 H341 J0 J012 J2 J212
M1 M113 M210 M211 M240 M272 M282 M320 M413 M431 M510 M521 M531 M540
M782 M903 R038 R052

M6 - [04] M903 R038 R052 R111 R200

PA - (TOYM) TOYOBO KK

PN - JP61015829 A 19860123 DW198610 009pp

- JP5066366B B 19930921 DW199340 A61K9/00 007pp

PR - JP19840136232 19840629

XA - C1986-027711

XIC - A61K-009/24

AB - J61015829 Sustained release nifedipine preps. of two-layer structure
to be applied to oral mucous membrane, consist of (I) a
rapid-dissolving layer comprising solid soln. of nifedipine in
polyvinyl pyrrolidone and an excipient, and (II) a
dissolution-retarding layer comprising solid soln. of nifedipine in
polyvinyl pyrrolidone and polyacrylic acid (and/or a pharmaceutically
acceptable salt), wherein the amt. of the polyvinyl pyrrolidone in the
rapid-dissolving layer (I) is 20 to 80% of the total weight of that
layer and wherein the weight ratio of the solid soln. of nifedipine in
polyvinyl pyrrolidone in the dissolution-retarding layer (II) to the
polyacrylic acid (and/or a pharmaceutically acceptable salt) is in the
range of 5:95 to 95:5.

- USE/ADVANTAGE - Nifedipine dissolves out into saliva at practically a
constant rate for long periods, thus ensuring a constant level in the
blood for long periods.

IW - SUSTAINED RELEASE NIFEDIPENE COMPOSITION ORAL MUCOUS MEMBRANE COMPRIZE
RAPID DISSOLVE LAYER POLYVINYL PYRROLIDONE EXCIPIENT DISSOLVE RETARD
LAYER POLYVINYL PYRROLIDONE POLYACRYLIC ACID

IKW - SUSTAINED RELEASE NIFEDIPENE COMPOSITION ORAL MUCOUS MEMBRANE COMPRIZE
RAPID DISSOLVE LAYER POLYVINYL PYRROLIDONE EXCIPIENT DISSOLVE RETARD
LAYER POLYVINYL PYRROLIDONE POLYACRYLIC ACID

NC - 001

OPD - 1984-06-29

ORD - 1986-01-23

PAW - (TOYM) TOYOB0 KK

Tl - Sustained release nifedipine compsn. for oral mucous membrane -
comprises rapid-dissolving layer of vinyl] pyrrolidone and excipient
and dissolution retarding layer of vinyl] pyrrolidone and acrylic] acid